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L3 232 SEA FILE=REGISTRY SSS FUL L1

L4 5 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2005:523447 CAPLUS

DOCUMENT NUMBER:

143:59964

TITLE:

Preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo

efficacy

INVENTOR(S):

Deshpande, Prasad Keshav; Sindkhedkar, Milind Dattatraya; Phansalkar, Mahesh Shriram; Yeole, Ravindra Dattatrya; Gupte, Shrikant Vinayak; Chugh, Yati; Shetty, Nitin; Bhagwat, Sachin Subhash; De Souza, Noel John; Patel, Mahesh Vithalbhai

PATENT ASSIGNEE(S): India

SOURCE: PCT Int. Appl., 167 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

GI

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATEN	T NO.			KIN	Ò	DATE			APPL	ICAT:	ION 1	. 00		D	ATE	
WO 20	050542	34		A2	-	2005	0616	,	WO 2	004-	IN27	· 6		2	0040	908
W	: AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,
	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KZ,	LC,	LK,	LR,
	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NΙ,	NO,	ΝZ,
	OM,	PG,	PH,	PL,	PT,	RO,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,
	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
R	W: BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	ĎK,
	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,
	SN,	TD,	TG													
US 2005143421				A1 20050630			US 2004-935708						20040907			
PRIORITY APPLN. INFO.:									IN 2	003-1	MU92	4	i	A 2	0030	908
OTHER SOUR	CE(S):			MAR	PAT	143:	5996	4								

AB The present invention provides agents having antimicrobial activity for preventing and treating infectious diseases. Thus, the present invention provides novel substituted piperidino phenyloxazolidinone derivs. (shown as I; variables defined below; e.g. (S)-N-[[3-[4-(4-cyanomethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide (shown as II)), processes for making compds. as well as antimicrobial compns. containing said derivs. as active ingredients and methods of treating bacterial infections with the said derivs. MIC (μg/mL) and/or ED50 (mg/kg) values are tabulated for 5 types of bacteria. For I: m = 0-1 and n = 1-3; X = -CN, -OH, or halogen; Y = H (with the proviso that when Y is H, X is OH, F or CN), (un)substituted C1-C6 alkyl, C3-C6 cycloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heterocyclylamino, heterocyclylcarbonyl, cyano, halogen, (un)substituted

amino, (un) substituted hydrazino; or X and Y together form a 3-membered carbocyclic ring or heterocyclic ring containing a hetero atom = 0 or S or X and Y together form an (un) substituted, (un) saturated 3 to 7 membered heterocyclic ring having 1-4 hetero atoms = N, O, S or includes the S atom of groups like sulfinyl or sulfonyl as a part of heterocyclic ring or X and Y together form O:. A, B = H, C1-C6 alkyl, or halogen; R2, R3 = H or halogen. R4 = C1-C6 alkylsulfonyloxy, alkylsulfonyloxyacetamido, (un) substituted aminosulfonyloxy, alkyloxysulfonyloxy, arylsulfonyloxy, (un) substituted amino, azido, aminonitrilo, isocyanato, formamido, N-hydroxyformamido, substituted C1-C6 alkanoyloxy, (un)substituted C1-C6 alkylamido, (un) substituted C1-C6 alkylthiocarbonylamido, C1-C6 alkylsulfonamido; substituted arylsulfonamido, (un) substituted alkylcarbamato, (un) substituted ureido, (un) substituted five to six membered heterocyclyl ring, (un) substituted five to six membered heteroaryl ring, (un) substituted heteroaryloxy, (un) substituted heteroarylamino, or mercapto substituted by C1-C6 alkyl group; addnl. details including provisos are given in the claims. Methods of preparation are claimed and .apprx.180 example prepns. are included. For example, 51 % II was prepared by reacting (S)-N-[[3-[4-(1-oxa-6-azaspiro[2.5]octan-6-yl)-3fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide with KCN in MeOH/DMF (1:5) at 25° for 14 h. 853795-09-2P, (S)-N-[[3-[4-(4-Fluoro-4-cyanomethylpiperidin-1-yl)-

IT 853795-09-2P, (S)-N-[[3-[4-(4-Fluoro-4-cyanomethylpiperidin-1-yl)3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide
853795-11-6P, (S)-N-[[3-[4-(4-Cyanomethyl-4-hydroxypiperidin-1-yl)3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide
853795-83-2P, (S)-N-[[3-[4-[4-(1,1-Dicyanomethyl)-4-cyanopiperidin1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide
853795-88-7P, N-[[(S)-3-[4-[4-[1-(Pyridin-3-yl)-1-cyanomethyl]-4cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5yl]methyl]ethanamide
RL: PAC (Pharmacological activity): RCT (Reactant): SPN (Synthetic

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)

RN 853795-09-2 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethyl)-4-fluoro-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethyl)-4-hydroxy-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 853795-83-2 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[4-cyano-4-(dicyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 853795-88-7 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[4-cyano-4-(cyano-3-pyridinylmethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 648909-88-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648919-89-5 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(dicyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN853794-82-8 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[4-(dicyanomethylene)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:372882 CAPLUS

DOCUMENT NUMBER:

140:391269

TITLE:

Preparation of methylidene oxazolidinone compounds as

INVENTOR(S):

antimicrobial agents and preparation method thereof Koh, Hun Yeong; Cho, Yong Seo; Pae, Ae Nim; Cha, Joo Hwan; Kim, Hye Yeon; Lee, Jae Seok; Kim, Hak Soo; Kim,

Sanghee

PATENT ASSIGNEE(S):

Korea Institute of Science and Technology, S. Korea

SOURCE:

U.S. Pat. Appl. Publ., 14 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

A1

LANGUAGE:

FAMILY ACC. NUM. COUNT:

. 1

PATENT INFORMATION:

PATENT NO.

KIND DATE APPLICATION NO. DATE

US 2004087633

20040506 US 2003-694843

20031029

PRIORITY APPLN. INFO.:

KR 2002-66268

A 20021029

OTHER SOURCE(S):

CASREACT 140:391269; MARPAT 140:391269

GT

3-[4-(3-Methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-AB 2-oxazolidinone compds. represented by formula (I) or pharmaceutically acceptable salt thereof (R1 and R2 independently represent H, cyano, alkyl, halogen, acetoxy, ethoxycarbonyl, hydroxy, hydroxyimino, methoxyimino, aminoethyl, or a unsatd. 5-membered heterocyclic substituent containing one or more hetero atoms selected from the group consisting of O, N and S; n represents an integer 1 or 2), showing superior antimicrobial activities against gram-pos. germs including resistant strains such as methicillin-resistant staphylococcus aureus and vancomycin-resistant enterococcus, are prepared Also disclosed is a preparation method thereof. These compds. showing superior antimicrobial activities against gram-pos. germs including resistant strains such as methicillin-resistant staphylococcus aureus and vancomycin- resistant enterococcus. For example, N-[[(5S)-3-[3-fluoro-4-[4-(cyanomethylidene)piperidin-1yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]thioacetamide showed min. inhibitory concentration of 0.5 μg/mL against vancomycin-resistant Staphylococcus pyogenes C6487 vs. 2 and >32 µg/mL for linezolid and vancomycin, resp.

IT 596136-34-4P, N-[[(5S)-3-[3-Fluoro-4-(4-cyanomethylidenepiperidin1-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(intermediate; preparation of 3-[4-(3-methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)

RN 596136-34-4 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 648919-28-2P, N-[[(5S)-3-[3-Fluoro-4-[4-(cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]thioacetamide 648919-57-7P,

```
N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyanoethylidene)piperidin-1-yl]phenyl]-2-oxo-
     5-oxazolidinyl]methyl]acetamide 648919-89-5P,
     N-[[(5S)-3-[3-Fluoro-4-[4-(dicyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-
     5-oxazolidinyl]methyl]acetamide 648919-97-5P,
     N-[[(5S)-3-[3-Fluoro-4-[4-(1-ethoxycarbonyl-1-cyanomethylidene)piperidin-1-
     yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-68-7P,
     N-[[(5S)-3-[3-Fluoro-4-[4-[1-cyano-2-(ethoxycarbonyl)ethylidene]piperidin-
     1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-70-1P,
     N-[[(5S)-3-[3-Fluoro-4-[4-[1-cyano-4-(methanesulfonyloxy)butylidene]piperi
     din-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
     685570-73-4P, N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyano-4-
     aminobutylidene)piperidin-1-yl]phenyl]-2-oxo-5-
     oxazolidinyl]methyl]thioacetamide 685571-00-0P,
     N-[[(5S)-3-[3-Fluoro-4-[4-[1-cyano-2-(ethoxycarbonyl)ethylidene]piperidin-
     1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride
     685571-01-1P, N-[[(5S)-3-[3-Fluoro-4-[4-(1-ethoxycarbonyl-1-
     cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-
     oxazolidinyl]methyl]acetamide hydrochloride 685571-02-2P,
     N-[[(5S)-3-[3-Fluoro-4-(4-cyanomethylidenepiperidin-1-yl)phenyl]-2-oxo-5-
     oxazolidinyl]methyl]acetamide hydrochloride 685571-10-2P,
     N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyanoethylidene)piperidin-1-yl]phenyl]-2-oxo-
     5-oxazolidinyl]methyl]acetamide hydrochloride 685571-20-4P,
     N-[[(5S)-3-[3-Fluoro-4-[4-(cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-
     oxazolidinyl]methyl]thioacetamide hydrochloride
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of 3-[4-(3-methylidenepiperidin-1-yl or
4-methylidenepyrrolidin-
        1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)
RN
     648919-28-2 CAPLUS
CN
     Ethanethioamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-
     fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RN 648919-57-7 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(1-cyanoethylidene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648919-89-5 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(dicyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648919-97-5 CAPLUS
CN Acetic acid, [1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-4-piperidinylidene]cyano-, ethyl ester (9CI) (CA INDEX NAME)

RN 685570-68-7 CAPLUS
CN Propanoic acid, 3-[1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-4-piperidinylidene]-3-cyano-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685570-70-1 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[1-cyano-4-[(methylsulfonyl)oxy]butylidene]-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 685570-73-4 CAPLUS
CN Ethanethioamide, N-[[(5S)-3-[4-[4-(4-amino-1-cyanobutylidene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685571-00-0 CAPLUS
CN Propanoic acid, 3-[1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-4-piperidinylidene]-3-cyano-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

RN

685571-01-1 CAPLUS
Acetic acid, [1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2fluorophenyl]-4-piperidinylidene]cyano-, ethyl ester, monohydrochloride
(9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN685571-02-2 CAPLUS Acetamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-CNfluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 685571-10-2 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(1-cyanoethylidene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685571-20-4 CAPLUS
CN Ethanethioamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 3-[4-(3-methylidenepiperidin-1-yl or
4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as
antimicrobial agents)

RN 685570-72-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[4-[1-cyano-2-[(methylsulfonyl)oxy]butylidene]-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:60503 CAPLUS

DOCUMENT NUMBER:

140:128407

TITLE:

Preparation of (piperidinophenyl)oxazolidinones targeting multiple ribonucleoprotein sites as

antibacterial agents

INVENTOR(S):

Patel, Mahesh V.; Deshpande, Prasad K.; Sindkhedkar, Milind D.; Gupte, Shrikant V.; Chugh, Yati; Shetty, Nitin; Shukla, Milind C.; Yeole, Ravindra D.; De

Souza, Noel J.

India

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAC	CENT 1	NO.			KIN)	DATE		7	APPL:	ICAT:	ION 1	NO.		D	ATE	
						-									-	0020	
WO	2004	00/4	89		AZ		2004	0122	,	WO 2	003-	LN23	8		21	0030	110
WO	2004	0074	89		A 3		2004	0318									
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GΕ,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NI,	NO,	NZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
CA	2492	194			AA		2004	0122	(CA 2	003-2	2492	194		20	0030	710
EP	1565	461			A2		2005	0824	1	EP 20	003-	7539:	12		20	0030.	710
	R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
PRIORITY	APP	LN.	INFO	. :					1	US 20	002-3	3951	64P]	P 20	0020	711
									7	WO 2	003-3	IN23	8	1	W 20	0030	710
OTHER SOURCE(S):				MARPAT 140:128407													

GΙ

AB Title compds. I [wherein R1 = H, (un) substituted (cyclo) alkyl, (un) substituted alkanoyl, arylmercapto, heterocyclylthiocarbonyl, etc.; R2, R3 = H, halogen; R4 = alkylsulfonyloxy, (un)substituted alkylthiocarbonylamino, (un)substituted ureido, carbamato, etc.; A, B = independently selected from H, alkyl, CO2Et, halogen; and salts or solvates thereof] were prepared as antibacterial agents. For example, reaction of (S)-N-[3-[4-(4-cyanomethylidenepiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]amine with carbon disulfide (69%), followed by addition of sodium methoxide, gave II in 77% yield. II showed 1.56-6.25 $\mu g/mL$ (MIC) against linezolid resistant strains, such as S. aureus MRSA-32, S. pneumoniae SPN744 and E. faecium 367, 0.2 μ g/mL (MIC) against linezolid sensitive strains, such as S. aureus MRSA-32 and S. pneumoniae 49619, 1.56 (MPC) for the treatment of E. faecalis 416 infection, and etc. 3D quant. structure activity relationship (3D-QSAR) showed that the steric contributions of I are over one and half times more

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than the electrostatic contributions, compared to literature compds.
     Thus, I and their pharmaceutical compds. targeting multiple
     ribonucleoprotein sites are useful as antimicrobial agents for treating
     bacterial infections.
     596136-34-4P 648909-90-4P 648918-89-2P
     648918-90-5P 648918-93-8P 648918-97-2P
     648919-00-0P 648919-01-1P 648919-02-2P
     648919-05-5P 648919-10-2P 648919-12-4P
     648919-25-9P 648919-38-4P 648919-51-1P
     648919-57-7P 648919-65-7P 648919-72-6P
     648919-80-6P 648919-82-8P 648919-83-9P
     648919-85-1P 648919-88-4P 648919-89-5P
     648919-90-8P 648919-93-1P 648919-94-2P
     648919-95-3P 648919-97-5P 648919-99-7P
     648920-05-2P 648920-07-4P 648920-14-3P
     648920-21-2P 648920-22-3P 648920-25-6P
     648920-26-7P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting
        multiple RNA sites as antibacterial agents)
RN
     596136-34-4 CAPLUS
CN
     Acetamide, N-[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-
     fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RN 648909-90-4 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-3-fluoro-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 648918-89-2 CAPLUS
CN Acetonitrile, [1-[4-[(5R)-5-(azidomethyl)-2-oxo-3-oxazolidinyl]phenyl]-4-piperidinylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648918-90-5 CAPLUS
CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[[(5R)-3-[4-[4-(cyanomethylene)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 648918-93-8 CAPLUS
CN Acetonitrile, [1-[2-fluoro-4-[(5S)-5-(isocyanatomethyl)-2-oxo-3-oxazolidinyl]phenyl]-4-piperidinylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648918-97-2 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-2-hydroxy- (9CI) (CA INDEX NAME)

RN 648919-00-0 CAPLUS
CN Acetonitrile, [1-[4-[(5R)-5-(azidomethyl)-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-4-piperidinylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648919-01-1 CAPLUS
CN Acetonitrile, [1-[4-[(5S)-5-(aminomethyl)-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-4-piperidinylidene]- (9CI) (CA INDEX NAME)

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:60502 CAPLUS

140:128406

DOCUMENT NUMBER: TITLE:

Preparation of (piperidinophenyl) oxazolidinones as

antimicrobial agents with improved pharmacokinetic

profile and safety advantages

INVENTOR(S):

Chugh, Yati; Shetty, Nitin; Deshpande, Prasad K.; Sindkhedkar, Milind D.; Jafri, Mohammad A.; Yeole, Ravindra D.; Shukla, Milind C.; Gupte, Shrikant V.;

Patel, Mahesh V.; De Souza, Noel J.

PATENT ASSIGNEE(S):

India

SOURCE:

PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 2004007488	A2 20040122	WO 2003-IN237	20030710			
WO 2004007488	A3 20040318					
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,			
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI,	GB, GD, GE, GH,			
		JP, KE, KG, KP, KR,				
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ,	NI, NO, NZ, OM,			
PG, PH, PL,	PT, RO, RU, SC,	SD, SE, SG, SK, SL,	SY, TJ, TM, TN,			
TR, TT, TZ,	UA, UG, US, UZ,	VC, VN, YU, ZA, ZM,	ZW			
		SL, SZ, TZ, UG, ZM,				
KG, KZ, MD,	RU, TJ, TM, AT,	BE, BG, CH, CY, CZ,	DE, DK, EE, ES,			
FI, FR, GB,	GR, HU, IE, IT,	LU, MC, NL, PT, RO,	SE, SI, SK, TR,			
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR,	NE, SN, TD, TG			
CA 2492743	AA 20040122	CA 2003-2492743	20030710			
US 2004063954	A1 20040401	US 2003-616888	20030710			
		EP 2003-758645				
		GB, GR, IT, LI, LU,				
IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR, BG, CZ,	EE, HU, SK			
US 2004235900	A1 20041125	US 2003-475735	20031023			
PRIORITY APPLN. INFO.:		US 2002-395164P	P 20020711			
		IN 2003-MU392	A 20030421			
		WO 2003-IN237	W 20030710			
OTHER SOURCE(S):	CASREACT 140:12	3406; MARPAT 140:1284	06			

GI

AB Title compds. I • A [wherein R1 = H, (un) substituted alkyl, CO2H, CN; R2, R3 = H, F; R4 = (un) substituted alkyl, alkoxy; D = H, alkyl, F; A = absent or a complex forming agent, organic base, amino acid] were prepared as antimicrobial agents. For example, reduction of N-[[(S)-3-[4-(4-cyanomethylidenepiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]acetamide with 10% Pd/C afforded II in 89% yield. II showed 1.0-2.0 μg/mL (MIC) against S. aureus MRSA-32, E. faecalis ATCC 29212 and S. pneumoniae ATCC 49619, good pharmacokinetic values, such as a higher 12-h blood level and a longer half-life than the control, and no toxicity. Thus, I and their pharmaceutical compds. have an improved pharmacokinetic profile and no toxicity in use as antimicrobial agents for preventing and treating bacterial infections in once-a-day doses.

IT 648910-08-1P 648910-09-2P

648910-08-1P 648910-09-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(complex with 3-HP- β -CD; preparation of (cyanoalkylpiperidinophenyl)oxa zolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

RN 648910-08-1 CAPLUS

CN β-Cyclodextrin, 6A-O-(3-hydroxypropyl)-, compd. with N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide (6:5) (9CI) (CA INDEX NAME)

CM 1

CRN 648909-91-5 CMF C19 H23 F N4 O3

CM 2

CRN 156969-96-9 CMF C45 H76 O36

RN 648910-09-2 CAPLUS

CN β-Cyclodextrin, 6A-O-(3-hydroxypropyl)-, compd. with N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 648909-91-5

CMF C19 H23 F N4 O3

Absolute stereochemistry.

CM 2

CRN 156969-96-9 CMF C45 H76 O36

IT 648909-87-9P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial

agents with improved pharmacokinetic profile and safety advantages)
RN 648909-87-9 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]phenyl]-2-oxo-5-

oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

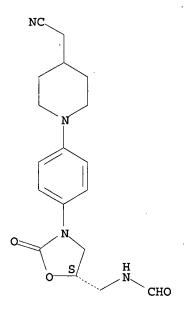
Absolute stereochemistry.

IT 648909-91-5P

RN CN RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages) 648909-91-5 CAPLUS
Acetamide, N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

```
IT
     648909-85-7P 648909-89-1P 648909-92-6P
     648909-94-8P 648909-96-0P 648909-97-1P
     648909-98-2P 648909-99-3P 648910-00-3P
     648910-01-4P 648910-02-5P 648910-03-6P
     648910-04-7P 648910-05-8P 648910-06-9P
     648910-07-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of (cyanoalkylpiperidinophenyl) oxazolidinones as antimicrobial
        agents with improved pharmacokinetic profile and safety advantages)
RN
     648909-85-7 CAPLUS
CN
     Formamide, N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]phenyl]-2-oxo-5-
     oxazolidinyl]methyl] - (9CI) (CA INDEX NAME)
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Absolute stereochemistry.



RN 648909-89-1 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethyl)-3-fluoro-1-piperidinyl]phenyl]-2oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 648909-92-6 CAPLUS
CN Propanamide, N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648909-94-8 CAPLUS
CN Acetamide, 2,2-dichloro-N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 648909-96-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648909-97-1 CAPLUS
CN Carbamic acid, [[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]2-oxo-5-oxazolidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 648909-98-2 CAPLUS
CN Carbamic acid, [[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]2-oxo-5-oxazolidinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648909-99-3 CAPLUS
CN Carbamic acid, [[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 648910-00-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethyl)-3-methyl-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648910-01-4 CAPLUS
CN Carbamic acid, [[(5S)-3-[4-[4-(cyanomethyl)-3-fluoro-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

RN 648910-02-5 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(1-cyanoethyl)-1-piperidinyl]-3-fluorophenyl]2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648910-03-6 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(1-cyanopropyl)-1-piperidinyl]-3-fluorophenyl]2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 648910-04-7 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(1-cyanobutyl)-1-piperidinyl]-3-fluorophenyl]2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648910-05-8 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(1-cyano-2-hydroxyethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 648910-06-9 CAPLUS
CN 4-Piperidineacetic acid, 1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-α-cyano- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648910-07-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(dicyanomethyl)-1-piperidinyl]-3-fluorophenyl]2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648909-86-8 CAPLUS
CN Formamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648909-88-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648909-90-4 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-3-fluoro-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 648909-93-7 CAPLUS
CN Propanamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648909-95-9 CAPLUS
CN Acetamide, 2,2-dichloro-N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidiny1]-3-fluoropheny1]-2-oxo-5-oxazolidiny1]methy1]- (9CI) (CA INDEX NAME)

RN 648919-14-6 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-2,2,2-trifluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648919-22-6 CAPLUS
CN Carbamic acid, [[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 648919-41-9 CAPLUS

CN Carbamic acid, [[(5S)-3-[4-[4-(cyanomethylene)-3-fluoro-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 648919-46-4 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-3-methyl-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 648919-57-7 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[4-(1-cyanoethylidene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648919-72-6 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[4-(1-cyanopropylidene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 648919-89-5 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(dicyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 653597-30-9 CAPLUS
CN Carbamic acid, [[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

RN 653597-32-1 CAPLUS
CN Carbamic acid, [[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 653597-37-6 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-(1-cyanobutylidene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN653597-38-7 CAPLUS

Acetamide, N-[[(5S)-3-[4-[4-(1-cyano-2-hydroxyethylidene)-1-piperidinyl]-3-CNfluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

653597-47-8 CAPLUS Acetic acid, [1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-CNfluorophenyl]-4-piperidinylidene]cyano- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:442768 CAPLUS

DOCUMENT NUMBER: 139:245931

TITLE: Synthesis and in vitro activity of new

methylenepiperidinyl and methylenepyrrolidinyl

oxazolidinone antibacterial agents

AUTHOR(S): Kim, Hye Yeon; Lee, Jae Seok; Cha, Joo Hwan; Pae, Ae

Nim; Cho, Yong Seo; Chang, Moon Ho; Koh, Hun Yeong

CORPORATE SOURCE: Biochemicals Research Center, Korea Institute of

Science and Technology, Cheongryang, Seoul, 130-650,

S. Korea

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003),

13(13), 2227-2230

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:245931

OTHER SOURCE(S): C

 \mathbb{R}^1 \mathbb{R}^2 \mathbb{R}^2 \mathbb{R}^0 \mathbb{R}^0 \mathbb{R}^0 \mathbb{R}^0 \mathbb{R}^0 \mathbb{R}^0 \mathbb{R}^0 \mathbb{R}^0 \mathbb{R}^0 \mathbb{R}^0

AB A series of substituted methylenepiperidinyl and methylenepyrrolidinyl oxazolidinones I (R1 = H, Me, CN; R2 = CN, CO2Et, COMe, CHO, etc.) have been prepared and evaluated for antibacterial activity against several gram-pos. strains, including the resistant strains of Staphylococcus and Enterococcus, such as MRSA, CRSA, MSSA and VRE. Some of them showed comparable or superior in vitro activities (MIC) to vancomycin.

Ι

IT 596136-34-4P

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => file uspatall
FILE 'USPATFULL' ENTERED AT 13:46:36 ON 21 SEP 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 13:46:36 ON 21 SEP 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que L1 STR

Structure attributes must be viewed using STN Express query preparation.

L3 232 SEA FILE=REGISTRY SSS FUL L1

L5 4 SEA L3

=> d 15 1-4 ibib abs hitstr

L5 ANSWER 1 OF 4 USPATFULL on STN

ACCESSION NUMBER: 2005:165996 USPATFULL

TITLE: Substituted piperidino phenyloxazolidinones having

antimicrobial activity with improved in vivo efficacy INVENTOR(S): Deshpande, Prasad Keshav, Maharashtra State, INDIA

Deshpande, Prasad Keshav, Maharashtra State, INDIA Sindkhedkar, Milind Dattatraya, Maharashtra State,

INDIA

Phansalkar, Mahesh Shriram, Maharashtra State, INDIA Yeole, Ravindra Dattatraya, Maharashtra State, INDIA Gupte, Shrikant Vinayak, Maharashtra State, INDIA

Chugh, Yati, Maharashtra State, INDIA Shetty, Nitin, Maharashtra State, INDIA

Bhagwat, Sachin Subhash, Maharashtra State, INDIA Shukla, Milind Chintaman, Maharashtra State, INDIA

De Souza, Noel John, Maharashtra State, INDIA Patel, Mahesh Vithalbhai, Maharashtra State, INDIA

PATENT ASSIGNEE(S): WOCKHARDT LIMITED (non-U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 2005143421 A1 20050630

APPLICATION INFO.: US 2004-935708 A1 20040907 (10)

NUMBER DATE

PRIORITY INFORMATION: IN 2003-9242003 20030908

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Janet I. Cord, Ladas & Parry, 26 West 61 Street, New

York, NY, 10023, US

NUMBER OF CLAIMS: 35 EXEMPLARY CLAIM: 1 LINE COUNT: 4940

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides agents having antimicrobial activity for preventing and treating infectious diseases. Thus, the present invention provides novel substituted piperidino phenyloxazolidinone derivatives, processes for making compounds as well as antimicrobial compositions containing said derivatives as active ingredients and methods of treating bacterial infections with the said derivatives.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 853795-09-2P, (S)-N-[[3-[4-(4-Fluoro-4-cyanomethylpiperidin-1-yl)-

3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide

853795-11-6P, (S) -N-[[3-[4-(4-Cyanomethyl-4-hydroxypiperidin-1-4-hy

yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide

853795-83-2P, (S)-N-[[3-[4-[4-(1,1-Dicyanomethyl)-4-

cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-

yl]methyl]ethanamide 853795-88-7P, N-[[(S)-3-[4-[4-[1-(Pyridin-

3-yl)-1-cyanomethyl]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-

oxooxazolidin-5-yl]methyl]ethanamide

(drug candidate; preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)

RN 853795-09-2 USPATFULL

CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethyl)-4-fluoro-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 853795-11-6 USPATFULL

CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethyl)-4-hydroxy-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 853795-83-2 USPATFULL

CN Acetamide, N-[[(5S)-3-[4-[4-cyano-4-(dicyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 853794-82-8 USPATFULL

CN Acetamide, N-[[(5S)-3-[4-[4-(dicyanomethylene)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 2 OF 4 USPATFULL on STN

ACCESSION NUMBER:

INVENTOR(S):

2004:300031 USPATFULL

TITLE:

Antimicrobial oxazolifinones with improved pharmacokinetic profile and safety advantages Chugh, Yati, Chikalthana Aurangabad, INDIA Shetty, Nitin, Chikalthana Aurangabad, INDIA

Deshpande, Prasad K, Chikalthana Aurangabad, INDIA Sindkhedkar, Milind D, Chikalthana Aurangabad, INDIA Jafri, Mohammad A, Chikalthana Aurangabad, INDIA Yeole, Ravinda D, Chikalthana Aurangabad, INDIA Shukla, Milind C, Chikalthana Aurangabad, INDIA Gupte, Shirikant V, Chikalthana Aurangabad, INDIA Patel, Mahesh V, Chikalthana Aurangabad, INDIA De Souza, Noel J, Chikalthana Aurangabad, INDIA

NUMBER DATE

PRIORITY INFORMATION: US 2002-60395164 20020711

IN 2003-3922003 20030421

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: LADAS & PARRY, 26 WEST 61ST STREET, NEW YORK, NY, 10023

NUMBER OF CLAIMS: 50 EXEMPLARY CLAIM: 1 LINE COUNT: 1298

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides agents having high antimicrobial activity for preventing and treating infectious diseases. Thus, the present invention provides novel cyanoalkylpiperidinophenyl oxazolidinone derivatives having antimicrobial activity, favourable pharmacokinetic and safety profiles, processes for making the compounds, as well as antimicrobial compositions containing said derivatives as active ingredients and methods of treating microbial infections with the said derivatives.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 648910-08-1P 648910-09-2P

(complex with 3-HP- β -CD; preparation of (cyanoalkylpiperidinophenyl)oxa zolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

RN 648910-08-1 USPATFULL

CN β-Cyclodextrin, 6A-O-(3-hydroxypropyl)-, compd. with
 N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5 oxazolidinyl]methyl]acetamide (6:5) (9CI) (CA INDEX NAME)

CM 1

CRN 648909-91-5 CMF C19 H23 F N4 O3

CM 2

CRN 156969-96-9 CMF C45 H76 O36 CDES 6:B-CYCLODEXTRIN

RN 648910-09-2 USPATFULL CN β -Cyclodextrin, 6A-O-(3-hydroxypropyl)-, compd. with N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 648909-91-5 CMF C19 H23 F N4 O3

Absolute stereochemistry.

CM 2

CRN 156969-96-9 CMF C45 H76 O36 CDES 6:B-CYCLODEXTRIN

RN653597-47-8 USPATFULL

Acetic acid, [1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-CN fluorophenyl]-4-piperidinylidene]cyano- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 4 USPATFULL on STN

ACCESSION NUMBER:

2004:114787 USPATFULL

TITLE:

Methylidene oxazolidinone compound and preparation

method thereof

INVENTOR(S):

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NUMBER KIND DATE

PATENT INFORMATION: US 2004087633 A1 20040506 APPLICATION INFO.: US 2003-694843 A1 20031029 (10)

NUMBER DATE

PRIORITY INFORMATION: KR 2002-66268 20021029

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MORRISON & FOERSTER LLP, 1650 TYSONS BOULEVARD, SUITE

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NUMBER OF CLAIMS: 13 EXEMPLARY CLAIM: 1 LINE COUNT: 1175

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A methylidene oxazolidinone compound represented by formula (1) or a pharmaceutically acceptable salt thereof, and a preparation method thereof, showing superior antimicrobial activities against gram-positive germs including resistant strains such as methicillic-resistant staphylococcus aureus and vancomycin-resistant enterococcus: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 596136-34-4P, N-[[(5S)-3-[3-Fluoro-4-(4-cyanomethylidenepiperidin-1-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide (intermediate; preparation of 3-[4-(3-methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)

RN 596136-34-4 USPATFULL

CN Acetamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 685570-69-8P, N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyano-4hydroxybutylidene)piperidin-1-yl]phenyl]-2-oxo-5oxazolidinyl]methyl]acetamide

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648919-28-2P, N-[[(5S)-3-[3-Fluoro-4-[4-
      (cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-
      oxazolidinyl]methyl]thioacetamide 648919-57-7P,
      N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyanoethylidene)piperidin-1-yl]phenyl]-2-oxo-
      5-oxazolidinyl]methyl]acetamide 648919-89-5P,
      N-[[(5S)-3-[3-Fluoro-4-[4-(dicyanomethylidene)piperidin-1-yl]phenyl]-2-
      oxo-5-oxazolidinyl]methyl]acetamide 648919-97-5P,
      N-[[(5S)-3-[3-Fluoro-4-[4-(1-ethoxycarbonyl-1-cyanomethylidene)piperidin-
      1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-68-7P
      , N-[[(5S)-3-[3-Fluoro-4-[4-[1-cyano-2-(ethoxycarbonyl)ethylidene]piperid
      in-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
      685570-70-1P, N-[[(5S)-3-[3-Fluoro-4-[4-[1-cyano-4-
      (methanesulfonyloxy) butylidene]piperidin-1-yl]phenyl]-2-oxo-5-
      oxazolidinyl]methyl]acetamide 685570-73-4P,
      N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyano-4-aminobutylidene)piperidin-1-
      yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]thioacetamide 685571-00-0P
      , N-[[(5S)-3-[3-Fluoro-4-[4-[1-cyano-2-(ethoxycarbonyl)ethylidene]piperid
      in-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride
      685571-01-1P, N-[[(5S)-3-[3-Fluoro-4-[4-(1-ethoxycarbonyl-1-
      cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-
      oxazolidinyl]methyl]acetamide hydrochloride 685571-02-2P,
      N-[[(5S)-3-[3-Fluoro-4-(4-cyanomethylidenepiperidin-1-yl)phenyl]-2-oxo-5-
      oxazolidinyl]methyl]acetamide hydrochloride 685571-10-2P,
     N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyanoethylidene)piperidin-1-yl]phenyl]-2-oxo-
      5-oxazolidinyl]methyl]acetamide hydrochloride 685571-20-4P,
     N-[[(5S)-3-[3-Fluoro-4-[4-(cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-
      5-oxazolidinyl]methyl]thioacetamide hydrochloride
       (preparation of 3-[4-(3-methylidenepiperidin-1-yl or
4-methylidenepyrrolidin-
        1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)
RN
     648919-28-2 USPATFULL
CN
    Ethanethioamide, N-[[(5S)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-
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fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

RN 648919-57-7 USPATFULL

CN Acetamide, N-[[(5S)-3-[4-[4-(1-cyanoethylidene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648919-89-5 USPATFULL

CN Acetamide, N-[[(5S)-3-[4-[4-(dicyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 648919-97-5 USPATFULL
CN Acetic acid, [1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-4-piperidinylidene]cyano-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685570-68-7 USPATFULL
CN Propanoic acid, 3-[1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-4-piperidinylidene]-3-cyano-, ethyl ester (9CI) (CA INDEX NAME)

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L5 ANSWER 4 OF 4 USPATFULL on STN

ACCESSION NUMBER: 2004:83498 USPATFULL

TITLE: Antibacterial cyano-(substituted) -

methylenepiperidinophenyl oxazolidinones targeting

multiple ribonucleoprotein sites

INVENTOR(S): Patel, Mahesh V., Chikalthana Aurangabad, INDIA

Deshpande, Prasad K., Chikalthana Aurangabad, INDIA Sindkhedkar, Milind D., Chikalthana Aurangabad, INDIA

Gupte, Shrikant V., Chikalthana Aurangabad, INDIA

Chugh, Yati, Chikalthana Aurangabad, INDIA Shetty, Nitin, Chikalthana Aurangabad, INDIA Shukla, Milind C., Chikalthana Aurangabad, INDIA Yeole, Ravindra D., Chikalthana Aurangabad, INDIA De Souza, Noel J., Chikalthana Aurangabad, INDIA

PATENT ASSIGNEE(S): WOCKHARDT LIMITED (non-U.S. corporation)

APPLICATION INFO.: US 2003-616888 A1 20030710 (10

NUMBER DATE

PRIORITY INFORMATION: IN 2003-3922003 20030421 US 2002-395164P 20020711 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: LADAS & PARRY, 26 WEST 61ST STREET, NEW YORK, NY, 10023

NUMBER OF CLAIMS: 28 EXEMPLARY CLAIM: 1 LINE COUNT: 4677

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides agents having high antimicrobial activity for preventing and treating infectious diseases. Thus, the present invention provides novel cyano-(substituted)-methylenepiperidinophenyl oxazolidinone derivatives, processes for making the compounds, as well as antimicrobial compositions containing said derivatives as active ingredients and methods of treating bacterial infections with the said derivatives.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 648910-08-1P 648910-09-2P

(complex with 3-HP- β -CD; preparation of (cyanoalkylpiperidinophenyl) oxa zolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

RN 648910-08-1 USPATFULL

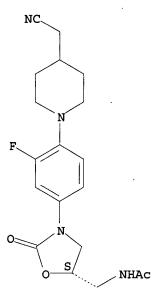
CN β-Cyclodextrin, 6A-O-(3-hydroxypropyl)-, compd. with

N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide (6:5) (9CI) (CA INDEX NAME)

CM 1

CRN 648909-91-5 CMF C19 H23 F N4 O3

Absolute stereochemistry.



CM 2

CRN 156969-96-9 CMF C45 H76 O36 CDES 6:B-CYCLODEXTRIN

HO- (CH₂)₃-O-CH₂

RN 648910-09-2 USPATFULL CN β -Cyclodextrin, 6A-O-(3-hydroxypropyl)-, compd. with N-[[(5S)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 648909-91-5 CMF C19 H23 F N4 O3

Absolute stereochemistry.

CM 2

CRN 156969-96-9 CMF C45 H76 O36 CDES 6:B-CYCLODEXTRIN